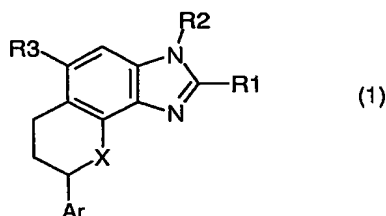


- 58 -

Patent claims

1. Compounds of formula 1,



in which

R1 is hydrogen, halogen, hydroxyl, 1-4C-alkyl, 3-7C-cycloalkyl, 3-7C-cycloalkyl-1-4C-alkyl, 1-4C-alkoxy, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxycarbonyl, 2-4C-alkenyl, 2-4C-alkynyl, fluoro-1-4C-alkyl, hydroxy-1-4C-alkyl or mono- or di-1-4C-alkylamino,

R2 is hydrogen, 1-4C-alkyl, 1-4C-alkoxy, 1-4C-alkoxy-1-4C-alkyl, aryl, 3-7C-cycloalkyl, 3-7C-cycloalkyl-1-4C-alkyl, 1-4C-alkoxycarbonyl, mono- or di-1-4C-alkylamino-1-4C-alkylcarbonyl, hydroxy-1-4C-alkyl, fluoro-2-4C-alkyl,

R3 is hydrogen, halogen, fluoro-1-4C-alkyl, carboxyl, 1-4C-alkoxycarbonyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkoxy-1-4C-alkyl, fluoro-1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkoxy, 1-4C-alkylcarbonylamino, 1-4C-alkylcarbonyl-N-1-4C-alkylamino, 1-4C-alkoxy-1-4C-alkylcarbonylamino or the group -CO-NR31R32,

where

R31 is hydrogen, hydroxyl, 1-7C-alkyl, 3-7C-cycloalkyl, hydroxy-1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl and

R32 is hydrogen, 1-7C-alkyl, 3-7C-cycloalkyl, hydroxy-1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,

or where

R31 and R32 together, including the nitrogen atom to which both are bonded, are a pyrrolidino, hydroxy-pyrrolidino, aziridino, azetidino, piperidino, piperazino, N-1-4C-alkylpiperazino or morpholino group,

X is O (oxygen) or NH and

Ar is a mono- or bicyclic aromatic residue, substituted by R4, R5, R6 and R7, which is selected from the group consisting of phenyl, naphthyl, pyrrolyl, pyrazolyl, imidazolyl, 1,2,3-triazolyl, indolyl, benzimidazolyl, furyl, benzofuryl, thienyl, benzothienyl, thiazolyl, isoxazolyl, pyridinyl, pyrimidinyl, chinolinyl and isochinolinyl,

wherein

R4 is hydrogen, 1-4C-alkyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy, 2-4C-alkenyloxy, 1-4C-alkylcarbonyl, carboxy, 1-4C-alkoxycarbonyl, carboxy-1-4C-alkyl, 1-4C-alkoxycarbonyl-1-4C-alkyl, halogen,

- 59 -

hydroxy, aryl, aryl-1-4C-alkyl, aryl-oxy, aryl-1-4C-alkoxy, trifluoromethyl, nitro, amino, mono- or di-1-4C-alkylamino, 1-4C-alkylcarbonylamino, 1-4C-alkoxycarbonylamino, 1-4C-alkoxy-1-4C-alkoxycarbonylamino or sulfonyl,

R5 is hydrogen, 1-4C-alkyl, 1-4C-alkoxy, 1-4C-alkoxycarbonyl, halogen, trifluoromethyl or hydroxy,

R6 is hydrogen, 1-4C-alkyl or halogen and

R7 is hydrogen, 1-4C-alkyl or halogen,

and wherein

aryl is phenyl or substituted phenyl with one, two or three same or different substituents from the group of 1-4C-alkyl, 1-4C-alkoxy, carboxy, 1-4C-alkoxycarbonyl, halogen, trifluoromethyl, nitro, trifluoromethoxy, hydroxy and cyano,

and the salts of these compounds.

2. Compounds of formula 1 according to claim 1,

in which

R1 is hydrogen, halogen, hydroxyl, 1-4C-alkyl, 3-7C-cycloalkyl, 3-7C-cycloalkyl-1-4C-alkyl, 1-4C-alkoxy, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxycarbonyl, 2-4C-alkenyl, 2-4C-alkynyl, fluoro-1-4C-alkyl, hydroxy-1-4C-alkyl or mono- or di-1-4C-alkylamino,

R2 is hydrogen, 1-4C-alkyl, 1-4C-alkoxy, 1-4C-alkoxy-1-4C-alkyl, aryl, 3-7C-cycloalkyl, 3-7C-cycloalkyl-1-4C-alkyl, 1-4C-alkoxycarbonyl, mono- or di-1-4C-alkylamino-1-4C-alkylcarbonyl, hydroxy-1-4C-alkyl, fluoro-2-4C-alkyl,

R3 is hydrogen, halogen, fluoro-1-4C-alkyl, carboxyl, 1-4C-alkoxycarbonyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkoxy-1-4C-alkyl, fluoro-1-4C-alkoxy-1-4C-alkyl or the group -CO-NR31R32,

where

R31 is hydrogen, hydroxyl, 1-7C-alkyl, hydroxy-1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl and

R32 is hydrogen, 1-7C-alkyl, hydroxy-1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,

or where

R31 and R32 together, including the nitrogen atom to which both are bonded, are a pyrrolidino, piperidino, piperazino, N-1-4C-alkylpiperazino or morpholino group,

X is O (oxygen) or NH and

Ar is a mono- or bicyclic aromatic residue, substituted by R4, R5, R6 and R7, which is selected from the group consisting of phenyl, naphthyl, pyrrolyl, pyrazolyl, imidazolyl, 1,2,3-triazolyl, indolyl, benzimidazolyl, furyl, benzofuryl, thienyl, benzothienyl, thiazolyl, isoxazolyl, pyridinyl, pyrimidinyl, chinolinyl and isochinolinyl,

wherein

R4 is hydrogen, 1-4C-alkyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy, 2-4C-alkenyloxy, 1-4C-alkylcarbonyl, carboxy, 1-4C-alkoxycarbonyl, carboxy-1-4C-alkyl, 1-4C-alkoxycarbonyl-1-4C-alkyl, halogen, hydroxy, aryl, aryl-1-4C-alkyl, aryl-oxy, aryl-1-4C-alkoxy, trifluoromethyl, nitro, amino, mono- or

- 60 -

di-1-4C-alkylamino, 1-4C-alkylcarbonylamino, 1-4C-alkoxycarbonylamino, 1-4C-alkoxy-1-4C-alkoxycarbonylamino or sulfonyl,

R5 is hydrogen, 1-4C-alkyl, 1-4C-alkoxy, 1-4C-alkoxycarbonyl, halogen, trifluoromethyl or hydroxy,

R6 is hydrogen, 1-4C-alkyl or halogen and

R7 is hydrogen, 1-4C-alkyl or halogen,

and wherein

aryl is phenyl or substituted phenyl with one, two or three same or different substituents from the group of 1-4C-alkyl, 1-4C-alkoxy, carboxy, 1-4C-alkoxycarbonyl, halogen, trifluoromethyl, nitro, trifluoromethoxy, hydroxy and cyano,

and the salts of these compounds.

3. Compounds of formula 1 according to claim 1,
in which

R1 is hydrogen, 1-4C-alkyl, 3-7C-cycloalkyl or fluoro-1-4C-alkyl,

R2 is hydrogen, 1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,

R3 is hydrogen, halogen, carboxyl, 1-4C-alkoxycarbonyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkoxy-1-4C-alkyl, 1-4C-alkylcarbonyl-N-1-4C-alkylamino or the group -CO-NR³¹R³²,

where

R³¹ is hydrogen, 1-4C-alkyl, 3-7C-cycloalkyl, hydroxy-1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl and

R³² is hydrogen or 1-4C-alkyl,

or where

R³¹ and R³² together, including the nitrogen atom to which both are bonded, are a pyrrolidino, hydroxypyrrolidino, aziridino, azetidino, piperidino, piperazino, N-1-4C-alkylpiperazino or morpholino group,

X is O (oxygen) or NH and

Ar is a phenyl group, substituted by R⁴, R⁵, R⁶ and R⁷,
wherein

R⁴ is hydrogen, 1-4C-alkyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy, 2-4C-alkenyloxy, 1-4C-alkylcarbonyl, carboxy, 1-4C-alkoxycarbonyl, carboxy-1-4C-alkyl, 1-4C-alkoxycarbonyl-1-4C-alkyl, halogen, hydroxy, trifluoromethyl, nitro, amino, mono- or di-1-4C-alkylamino, 1-4C-alkylcarbonylamino, 1-4C-alkoxycarbonylamino, 1-4C-alkoxy-1-4C-alkoxycarbonylamino or sulfonyl,

R⁵ is hydrogen, 1-4C-alkyl, 1-4C-alkoxy, 1-4C-alkoxycarbonyl, halogen, trifluoromethyl or hydroxy,

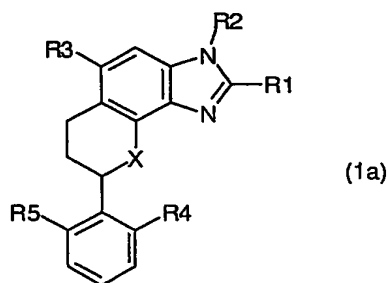
R⁶ is hydrogen, 1-4C-alkyl or halogen and

R⁷ is hydrogen, 1-4C-alkyl or halogen,

and the salts of these compounds.

4. Compounds of formula 1 according to claim 1, characterized by the formula 1a,

- 61 -



in which

R1 is hydrogen, 1-4C-alkyl, 3-7C-cycloalkyl or fluoro-1-4C-alkyl,

R2 is hydrogen, 1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,

R3 is hydrogen, halogen, carboxyl, 1-4C-alkoxycarbonyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkoxy-1-4C-alkyl, 1-4C-alkylcarbonyl-N-1-4C-alkylamino or the group -CO-NR31R32,

where

R31 is hydrogen, 1-4C-alkyl, 3-7C-cycloalkyl, hydroxy-1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl and

R32 is hydrogen or 1-4C-alkyl,

or where

R31 and R32 together, including the nitrogen atom to which both are bonded, are a pyrrolidino, hydroxypyrrolidino, aziridino, azetidino, piperidino, piperazino, N-1-4C-alkylpiperazino or morpholino group,

R4 is hydrogen, 1-4C-alkyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy, 1-4C-alkoxycarbonyl, trifluoromethyl, amino, mono- or di-1-4C-alkylamino, 1-4C-alkylcarbonylamino, 1-4C-alkoxycarbonylamino or 1-4C-alkoxy-1-4C-alkoxycarbonylamino,

R5 is hydrogen, 1-4C-alkyl or 1-4C-alkoxy and

X is O (oxygen) or NH,

and the salts of these compounds.

5. Compounds formula 1a according to claim 4,

in which

R1 is 1-4C-alkyl, 3-7C-cycloalkyl or fluoro-1-4C-alkyl,

R2 is hydrogen, 1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,

R3 is hydrogen, carboxyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkoxy-1-4C-alkyl, 1-4C-alkylcarbonyl-N-1-4C-alkylamino or the group -CO-NR31R32,

where

R31 is hydrogen, 1-4C-alkyl, hydroxy-1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl and

- 62 -

R32 is hydrogen or 1-4C-alkyl,

or where

R31 and R32 together, including the nitrogen atom to which both are bonded, are a pyrrolidino, aziridino, azetidino or morpholino group,

R4 is hydrogen,

R5 is hydrogen and

X is O (oxygen) or NH,

and the salts of these compounds.

6. Compounds of formula 1a according to claim 4,

in which

R1 is 1-4C-alkyl, 3-7C-cycloalkyl or fluoro-1-4C-alkyl,

R2 is 1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,

R3 is carboxyl, 1-4C-alkoxycarbonyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkoxy-1-4C-alkyl, 1-4C-alkylcarbonyl-N-1-4C-alkylamino or the group -CO-NR31R32,

where

R31 is hydrogen, 1-4C-alkyl, hydroxy-1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl and

R32 is hydrogen or 1-4C-alkyl,

or where

R31 and R32 together, including the nitrogen atom to which both are bonded, are a pyrrolidino, hydroxypyrrolidino, aziridino, azetidino or morpholino group,

R4 is hydrogen,

R5 is hydrogen and

X is O (oxygen) or NH,

and the salts of these compounds.

7. Compounds of the formula 1a according to claim 4,

in which

R1 is 1-4C-alkyl,

R2 is 1-4C-alkyl,

R3 is hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl or the group -CO-NR31R32,

where

R31 is hydrogen, 1-4C-alkyl, hydroxy-2-4C-alkyl or 1-4C-alkoxy-2-4C-alkyl and

R32 is hydrogen,

or where

R31 and R32 together, including the nitrogen atom to which both are bonded, are a pyrrolidino, group,

R4 is hydrogen,

- 63 -

R5 is hydrogen and
 X is O (oxygen) or NH,
 and the salts of these compounds.

8. Compounds of formula 1a according to claim 4,
 in which

R1 is 1-4C-alkyl or fluoro-1-4C-alkyl,

R2 is 1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,

R3 is carboxyl, 1-4C-alkoxycarbonyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkoxy-1-4C-alkyl or the group -CO-NR31R32,

where

R31 is hydrogen, 1-4C-alkyl, hydroxy-2-4C-alkyl or 1-4C-alkoxy-2-4C-alkyl and

R32 is hydrogen or 1-4C-alkyl,

or where

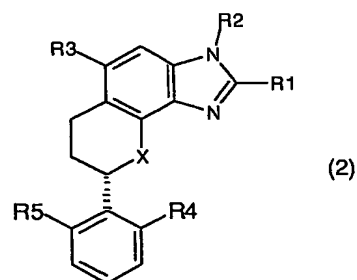
R31 and R32 together, including the nitrogen atom to which both are bonded, are a pyrrolidino or morpholino group,

R4 is hydrogen,

R5 is hydrogen and

X is O (oxygen) or NH,
 and the salts of these compounds.

9. Compounds of formula 1 according to claim 1, characterized by the formula 2,



in which

R1 is 1-4C-alkyl or 3-7C-cycloalkyl,

R2 is hydrogen or 1-4C-alkyl,

R3 is 1-4C-alkoxycarbonyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl or the group -CO-NR31R32,
 where

- 64 -

R31 is hydrogen, 1-4C-alkyl, hydroxy-1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl and

R32 is hydrogen or 1-4C-alkyl,

or where

R31 and R32 together, including the nitrogen atom to which both are bonded, are a pyrrolidino, aziridino, azetidino or morpholino group,

R4 is hydrogen,

R5 is hydrogen and

X is O (oxygen) or NH,

and the salts of these compounds.

10. Compounds of formula 2 according to claim 9,

in which

R1 is 1-4C-alkyl, 3-7C-cycloalkyl or fluoro-1-4C-alkyl,

R2 is 1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl,

R3 is carboxyl, 1-4C-alkoxycarbonyl, hydroxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkyl, 1-4C-alkoxy-1-4C-alkoxy-1-4C-alkyl, 1-4C-alkylcarbonyl-N-1-4C-alkylamino or the group -CO-NR31R32,

where

R31 is hydrogen, 1-4C-alkyl, hydroxy-1-4C-alkyl or 1-4C-alkoxy-1-4C-alkyl and

R32 is hydrogen or 1-4C-alkyl,

or where

R31 and R32 together, including the nitrogen atom to which both are bonded, are a pyrrolidino, hydroxypyrrolidino, aziridino, azetidino or morpholino group,

R4 is hydrogen,

R5 is hydrogen and

X is O (oxygen) or NH,

and the salts of these compounds.

11. A medicament comprising a compound as claimed in claim 1 and/or a pharmacologically acceptable salt thereof together with customary pharmaceutical auxiliaries and/or excipients.

12. The use of a compound as claimed in claim 1 and its pharmacologically acceptable salts for the prevention and treatment of gastrointestinal disorders.